STN - STRUCTURE SEARCH
8.5.04

=> d ibib abs hitstr 1-3

Inventor

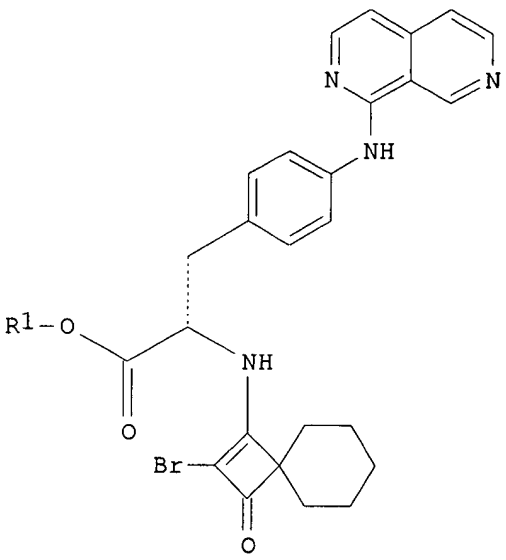
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60508 CAPLUS
DOCUMENT NUMBER: 140:94295
TITLE: Preparation of phenylalanine enamide derivatives containing a spiro[3.5]non-1-ene ring for use as integrin inhibitors
INVENTOR(S): Brown, Julien Alistair; Bailey, Stuart; Brand, Stephen
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007494	A1	20040122	WO 2003-GB3104	20030716

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU

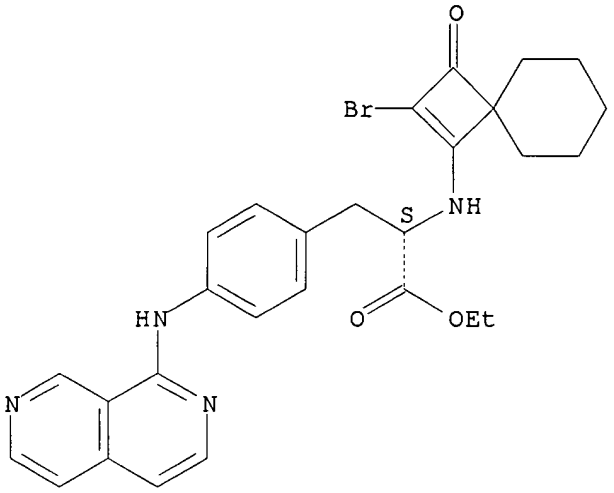
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-16571 A 20020717
GI



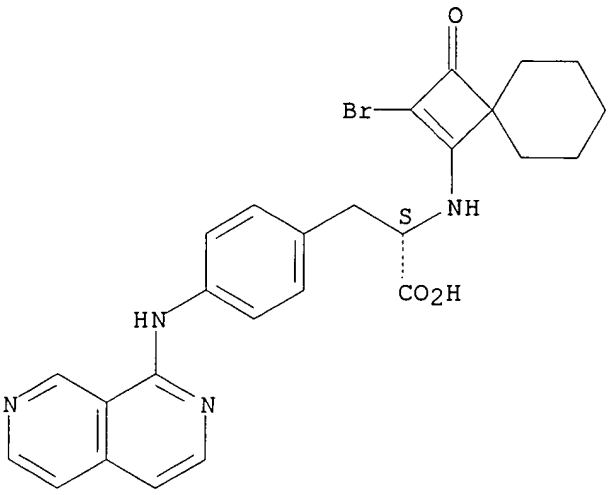
I

AB Phenylalanine enamide derivs. I [R1 = iso-Pr, Pr, Me3CCH2, CH2CH2OH or -OMe, CH2CH2OCH2CH2OH or -OMe, 2-morpholinoethyl, 2-(4-methyl-1-piperazinyl)ethyl, 2-tetrahydropyranylmethyl] or their salts, solvates and N-oxides were prepared as potent and selective inhibitors of α4



RN 455264-31-0 CAPLUS
CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-(2,7-naphthyridin-1-ylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



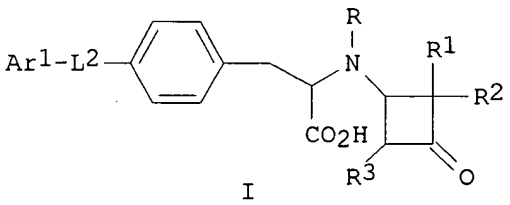
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60451 CAPLUS
DOCUMENT NUMBER: 140:94294
TITLE: Process for the preparation of phenylalanine enamide derivatives
INVENTOR(S): Skead, Benjamin Mark; Tyrrell, Nicholas David; Jones, Stephen Wilfred; Brookes, Michael Handforth
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007428	A1	20040122	WO 2003-GB3108	20030716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004073033	A1	20040415	US 2003-620396	20030716
PRIORITY APPLN. INFO.:			GB 2002-16574 A	20020717
OTHER SOURCE(S):	MARPAT 140:94294			

GI



I

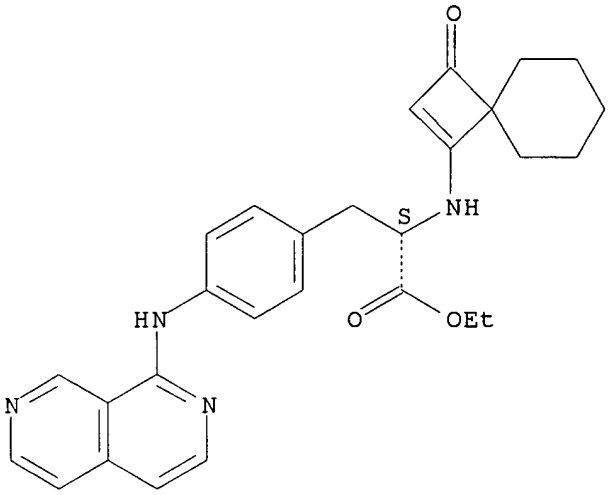
AB The invention describes a process for the preparation of phenylalanine enamide derivs. I [Ar1 is an (un)substituted aromatic or heteroarom. group; L2 is a linker group NH, CONH, SO2NH or N-alkyl derivs.; R is H or alkyl; R1, R2, R3 are -L1-Alk1-0-1-R41-3, where L1 is a covalent bond or a linker atom or group, Alk1 is an (un)substituted aliphatic or heteroaliph. chain, R4 is H, halo, OH, (cyclo)alkoxy, (cyclo)alkylthio, CN, or an (un)substituted (hetero)cycloaliph., (hetero)polycycloaliph., or (hetero)aromatic group; or R1 and R2 are joined together to form an (un)substituted spiro-linked (hetero)cycloaliph. group], including their salts, solvates, hydrates and N-oxides, which comprises reacting a p-amino- or p-(alkylamino)phenylalanine derivative with a compound Ar1-W, where W is a leaving group, CO2H, a carbonyl or sulfonyl halide. Thus, Et 2(S)-[(3-oxospiro[3.5]non-1-enyl)amino]-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propionate was prepared by acylation of Et 3-(4-aminophenyl)-2(S)-[(3-oxospiro[3.5]non-1-enyl)amino]propionate (II) with 3,5-dichloroisonicotinoyl chloride. Intermediate II was prepared by reaction of 4-nitro-L-phenylalanine Et ester with spiro[3.5]nonane-1,3-dione.

IT **455264-29-6P 644995-18-6P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(process for preparation of phenylalanine enamide derivs.)

RN 455264-29-6 CAPLUS

CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

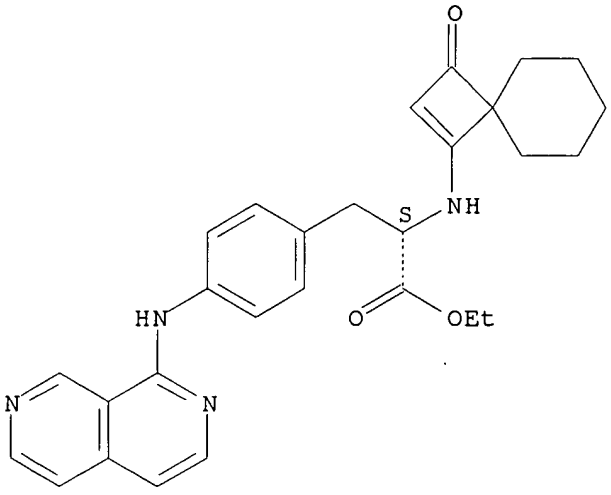


RN 644995-18-6 CAPLUS
CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester, (2R,3R)-2,3-bis[(4-methylbenzoyl)oxy]butanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 455264-29-6
CMF C28 H30 N4 O3

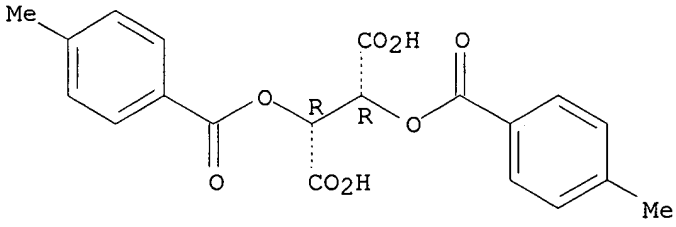
Absolute stereochemistry.



CM 2

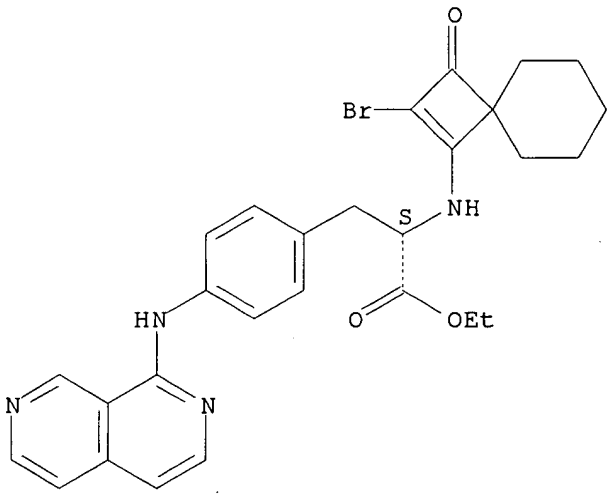
CRN 32634-66-5
CMF C20 H18 O8

Absolute stereochemistry.



IT **455264-30-9P 644995-19-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of phenylalanine enamide derivs.)
RN 455264-30-9 CAPLUS
CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-(2,7-naphthyridin-1-ylamino)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

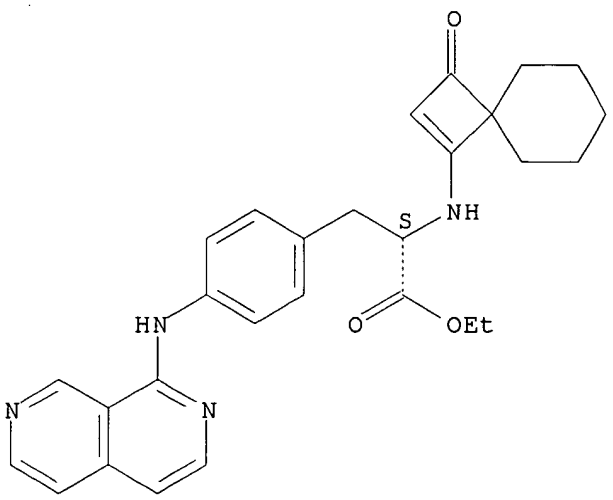


RN 644995-19-7 CAPLUS
CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

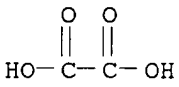
CRN 455264-29-6
CMF C28 H30 N4 O3

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:675997 CAPLUS
DOCUMENT NUMBER: 137:217241
TITLE: Preparation of phenylalanine enamide derivatives possessing a cyclobutene group for use as integrin inhibitors
INVENTOR(S): Bailey, Stuart; Brown, Julien Alistair; Brand, Stephen; Johnson, James Andrew; Porter, John Robert; Head, John Clifford
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068393	A1	20020906	WO 2002-GB206	20020118

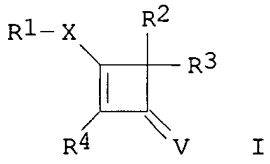
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2387845	A1	20031029	GB 2003-18429		20020118
EP 1370531	A1	20031217	EP 2002-715515		20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2002007166	A	20040210	BR 2002-7166		20020118
US 2002169336	A1	20021114	US 2002-81072		20020222
NO 2003003710	A	20031022	NO 2003-3710		20030820
PRIORITY APPLN. INFO.:			GB 2001-4418	A	20010222
			GB 2001-14000	A	20010608
			GB 2001-27562	A	20011116
			WO 2002-GB206	W	20020118

OTHER SOURCE(S): MARPAT 137:217241

GI



I

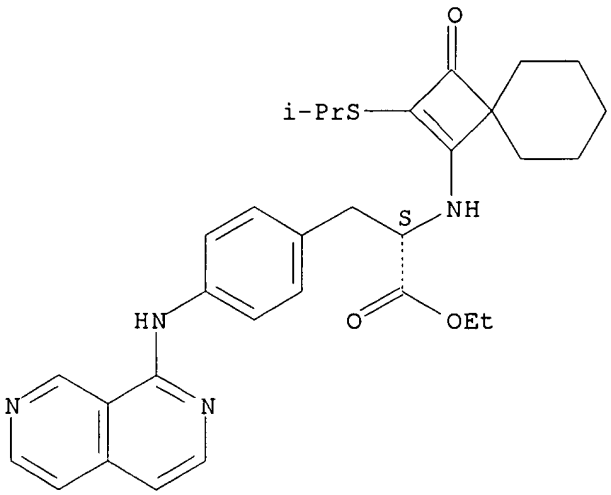
AB Phenylalanine enamide derivs. I [R1 is a group Ar1-L2-Ar2-Alk- in which Ar1 is an optionally substituted (hetero)aromatic group, L2 is a covalent bond or a linker atom or group, Ar2 is an optionally substituted (hetero)arylene group, and Alk is CH2CHCO2H, CH:CCO2H, or CHCH2CO2H or a derivative or biostere; X = O, S, NH or alkylimino; V = O or S; R2, R3, R4 = L1-(Alk1)n(R5)v, in which L1 is a covalent bond or a linker atom or group, Alk1 is an optionally substituted (hetero)aliphatic chain, R5 = H, halo, OH, SH, CN, (un)substituted (cyclo)alkoxy, (cyclo)alkylthio, (hetero)(poly)cycloaliph. or (hetero)aromatic group; n = 0 or 1, and v = 1-3] were prepared Compds. I inhibit the binding of integrins to their ligands and are of use in the prophylaxis and treatment of immuno or inflammatory disorders or disorders involving the inappropriate growth or migration of cells. Thus, (2S)-2-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoic acid (claimed compound) was prepared by reaction of Et (2S)-2-amino-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoate (preparation given) with 1-keto-3-hydroxyspiro[3.5]non-2-ene, followed by hydrolysis.

IT **455263-33-9P 455264-25-2P 455264-26-3P 455264-29-6P 455264-30-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of phenylalanine enamide derivs. possessing a cyclobutene group for use as integrin inhibitors)

RN 455263-33-9 CAPLUS

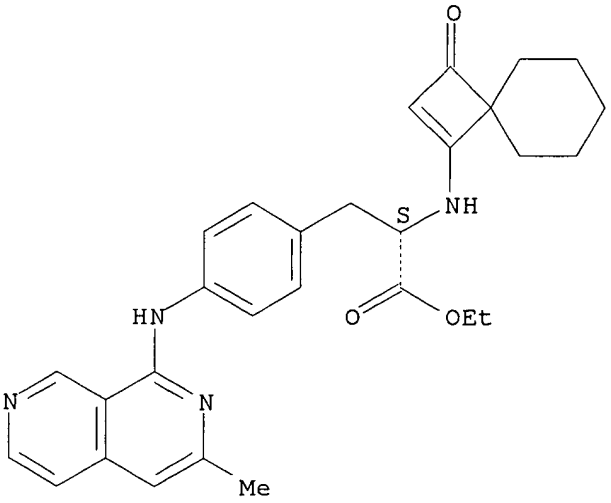
CN L-Phenylalanine, N-[2-[(1-methylethyl)thio]-3-oxospiro[3.5]non-1-en-1-yl]-4-(2,7-naphthyridin-1-ylamino)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



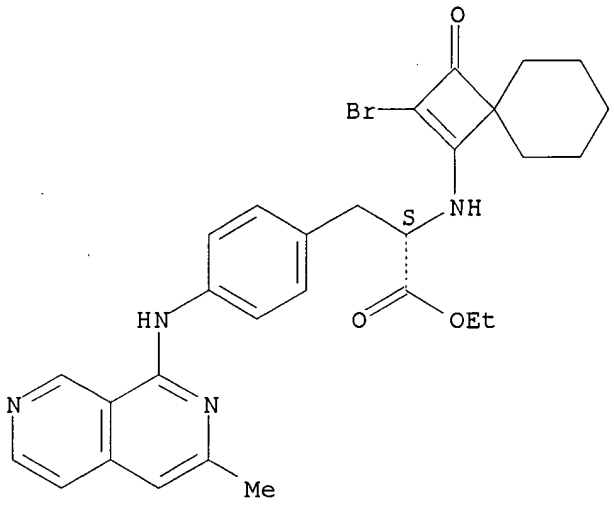
RN 455264-25-2 CAPLUS
CN L-Phenylalanine, 4-[(3-methyl-2,7-naphthyridin-1-yl)amino]-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



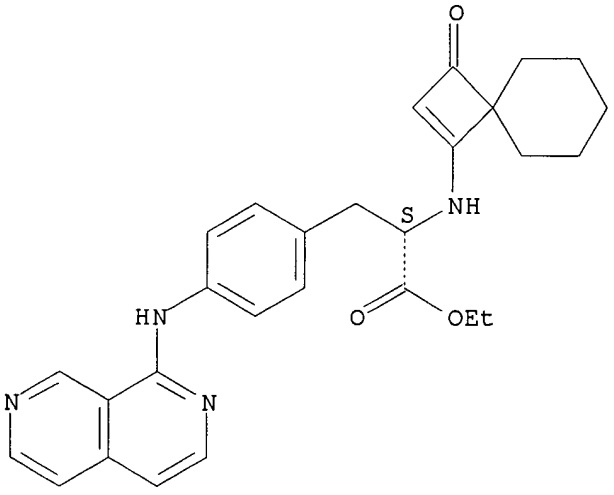
RN 455264-26-3 CAPLUS
CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-[(3-methyl-2,7-naphthyridin-1-yl)amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



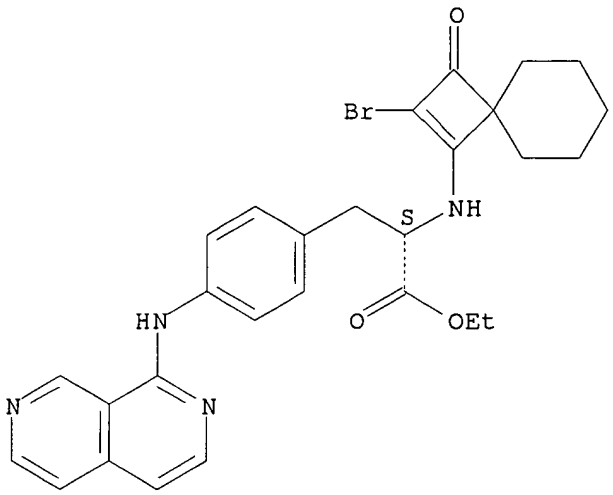
RN 455264-29-6 CAPLUS
CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 455264-30-9 CAPLUS
CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-(2,7-naphthyridin-1-ylamino)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

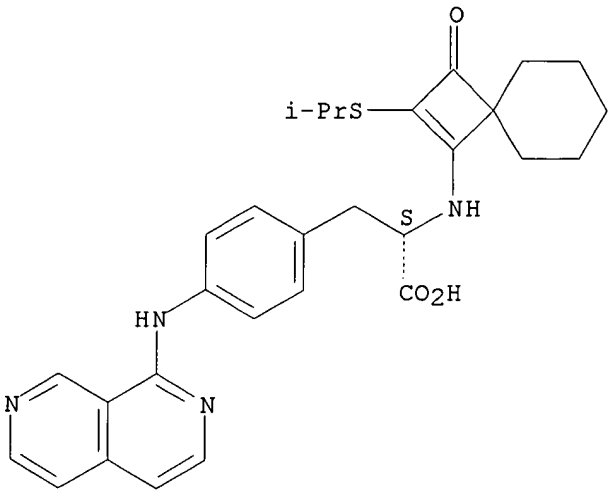


IT **455263-34-0P 455263-93-1P 455264-27-4P**
455264-28-5P 455264-31-0P 455264-32-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylalanine enamide derivs. possessing a cyclobutene group for use as integrin inhibitors)

RN 455263-34-0 CAPLUS

CN L-Phenylalanine, N-[2-[(1-methylethyl)thio]-3-oxospiro[3.5]non-1-en-1-yl]-4-(2,7-naphthyridin-1-ylamino)- (9CI) (CA INDEX NAME)

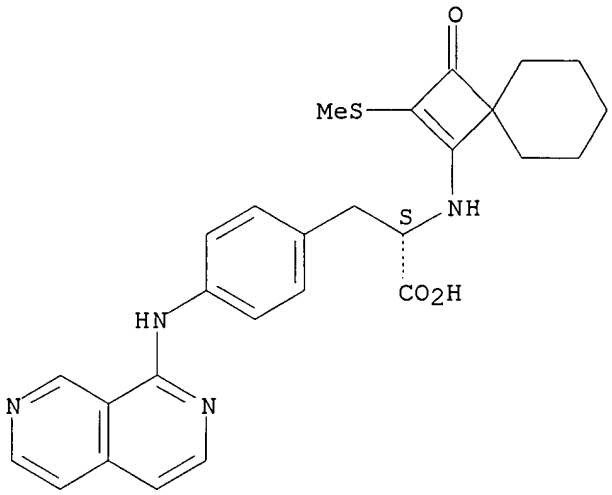
Absolute stereochemistry.



RN 455263-93-1 CAPLUS

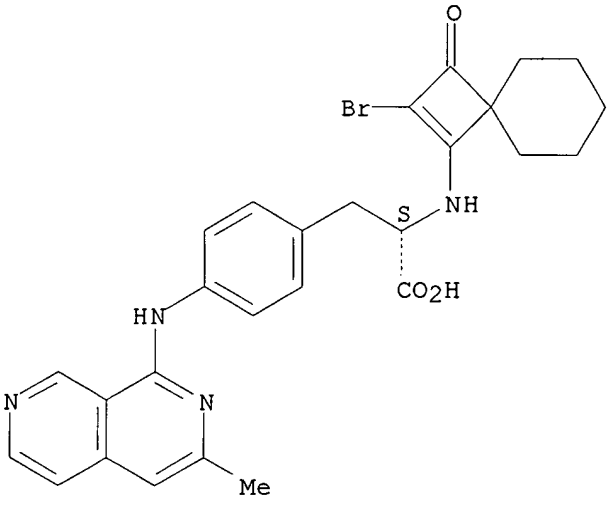
CN L-Phenylalanine, N-[2-(methylthio)-3-oxospiro[3.5]non-1-en-1-yl]-4-(2,7-naphthyridin-1-ylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



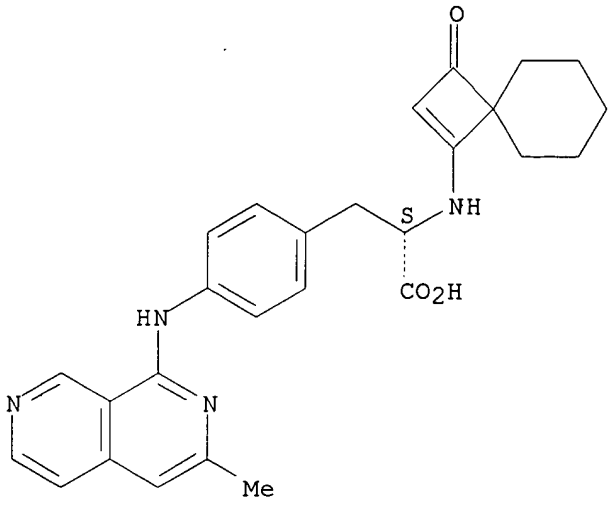
RN 455264-27-4 CAPLUS
CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-[(3-methyl-2,7-naphthyridin-1-yl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



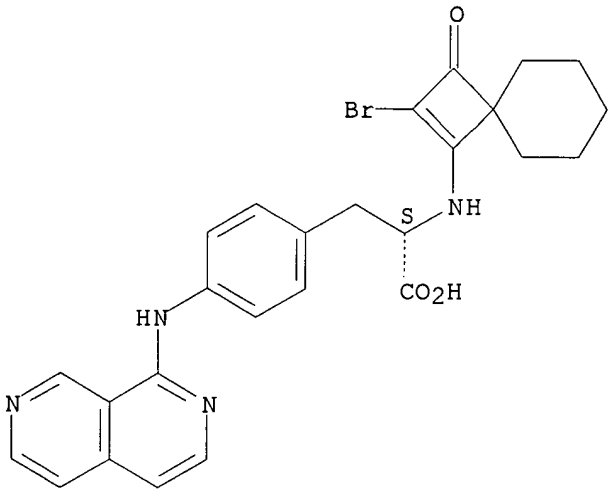
RN 455264-28-5 CAPLUS
CN L-Phenylalanine, 4-[(3-methyl-2,7-naphthyridin-1-yl)amino]-N-(3-oxospiro[3.5]non-1-en-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



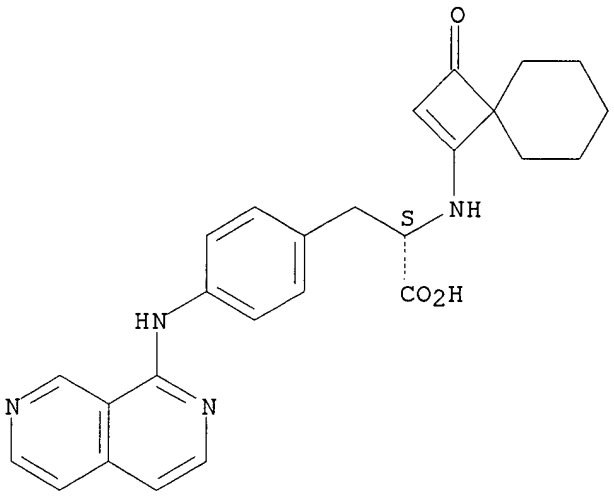
RN 455264-31-0 CAPLUS
CN L-Phenylalanine, N-(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)-4-(2,7-naphthyridin-1-ylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



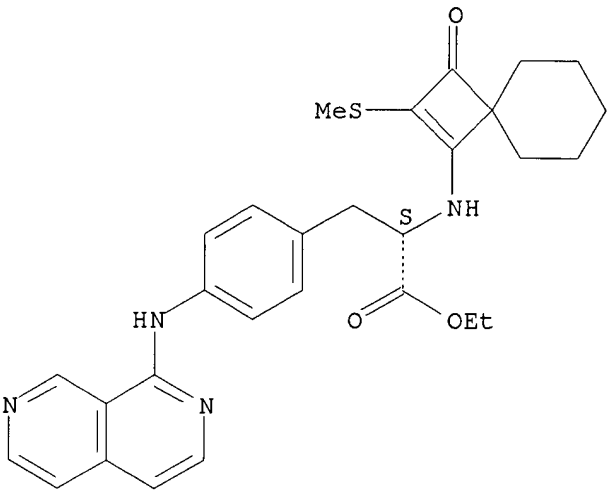
RN 455264-32-1 CAPLUS
CN L-Phenylalanine, 4-(2,7-naphthyridin-1-ylamino)-N-(3-oxospiro[3.5]non-1-en-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **455265-03-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylalanine enamide derivs. possessing a cyclobutene group for use as integrin inhibitors)
RN 455265-03-9 CAPLUS
CN L-Phenylalanine, N-[2-(methylthio)-3-oxospiro[3.5]non-1-en-1-yl]-4-(2,7-naphthyridin-1-ylamino)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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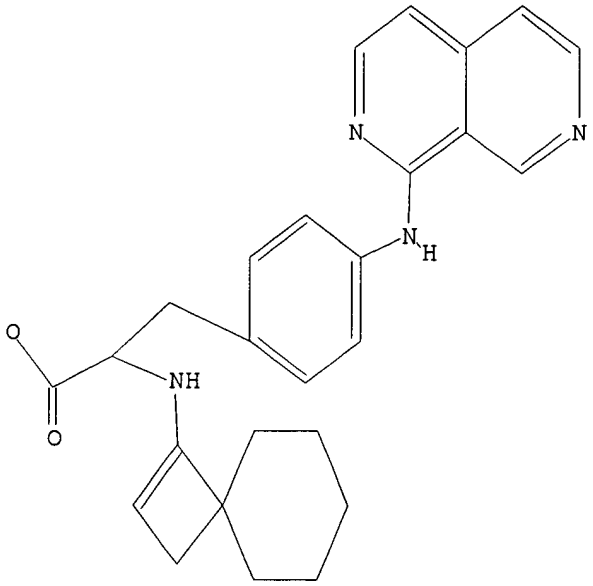
L1 STRUCTURE UPLOADED
L2 1 S L1

L3 24 S L1 FULL

FILE 'CAPLUS' ENTERED AT 08:15:28 ON 05 AUG 2004
L4 3 S L3

=> d l1
L1 HAS NO ANSWERS
L1 STR



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Inventor Name Search Result

Your Search was:

Last Name = BROWN
First Name = JULIEN

Application#	Patent#	Status	Date Filed	Title	Inventor Name 6
10620533	Not Issued	030	07/16/2003	PHENYLALANINE ENAMIDE DERIVATIVES	BROWN, JULIEN ALISTAIR
10620531	Not Issued	030	07/16/2003	PHENYLALANINE ENAMIDE DERIVATIVES	BROWN, JULIEN ALISTAIR
10081072	Not Issued	041	02/22/2002	PHENYLALANINE ENAMIDE DERIVATIVES	BROWN, JULIEN A.
09408258	6274577	150	09/29/1999	BENZODIAZEPINES	BROWN, JULIEN ALISTAIR
08984198	5859034	150	12/03/1997	TRI-SUBSTITUTED PHENYL COMPOUNDS WHICH HAVE USEFUL PHARMACEUTICAL ACTIVITY	BROWN , JULIEN ALISTAIR
08769466	5891896	150	12/20/1996	TRI-SUBSTITUTED PHENYL DERIVATIVES USEFUL AS PDE IV INHIBITORS	BROWN , JULIEN A.

Inventor Search Completed: No Records to Display.

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